



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 148958

TO: Rei-Tsang Shiao
Location: 5a10 / 5c18
Monday, April 04, 2005
Art Unit: 1626
Phone: 571-272-0707
Serial Number: 10 / 652634

From: Jan Delaval
Location: Biotech-Chem Library
Remsen 1a51
Phone: 571-272-22504
jan.delaval@uspto.gov

Search Notes

=> fil reg

FILE 'REGISTRY' ENTERED AT 08:45:54 ON 04 APR 2005

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STRUCTURE FILE UPDATES: 1 APR 2005 HIGHEST RN 847818-85-3

DICTIONARY FILE UPDATES: 1 APR 2005 HIGHEST RN 847818-85-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

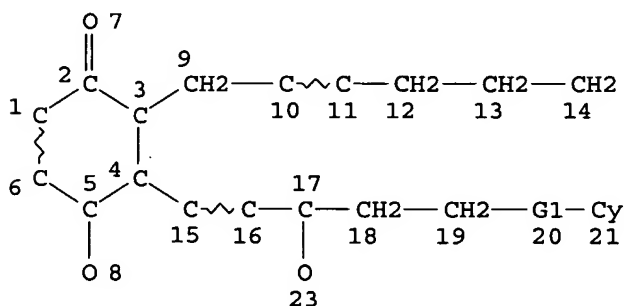
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 126

L9 STR



REP G1=(0-1) A

NODE ATTRIBUTES:

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

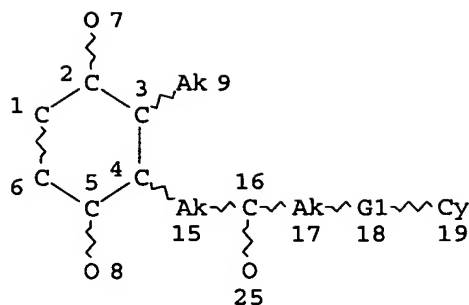
RSPEC 4

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L16 1028259 SEA FILE=REGISTRY ABB=ON PLU=ON (46.150.1 OR 46.150.2)/RID

L18 STR



REP G1=(0-1) A

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 4

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L20 34 SEA FILE=REGISTRY SUB=L16 SSS FUL L18

L23 9 SEA FILE=REGISTRY SUB=L20 SSS FUL L9

L24 25 SEA FILE=REGISTRY ABB=ON PLU=ON L20 NOT L23

L25 2 SEA FILE=REGISTRY ABB=ON PLU=ON L24 AND (C25H30O5S OR C23H30O5)

L26 11 SEA FILE=REGISTRY ABB=ON PLU=ON (L23 OR L25)

=> d his

(FILE 'HOME' ENTERED AT 08:30:44 ON 04 APR 2005)

SET COST OFF

FILE 'HCAPLUS' ENTERED AT 08:31:18 ON 04 APR 2005

L1 1 S US20050049227/PN OR (US2003-652634# OR WO2004-US26607)/AP,PRN
E ALLERGAN/PA,CS

L2 975 S E3-E82
E OLD D/AU

L3 22 S E3,E5,E7,E8
E BURK R/AU

L4 92 S E3,E10,E17,E22,E23
E DINH T/AU

L5 7 S E3,E5
E DINH THANG/AU

L6 7 S E3,E4

L7 1 S L1 AND L2-L6
SEL RN

FILE 'REGISTRY' ENTERED AT 08:33:24 ON 04 APR 2005

L8 39 S E1-E39

L9 STR

L10 0 S L9

L11 STR L9

L12 0 S L11

L13 31 S L8 AND C6/ES

L14 STR L11

L15 0 S L14

L16 1028259 S (46.150.1 OR 46.150.2)/RID

L17 0 S L14 SAM SUB=L16

L18 STR L14
L19 0 S L18 SAM SUB=L16
L20 34 S L18 FUL SUB=L16
SAV L20 SHIAO652/A
L21 13 S L8 AND L20
L22 21 S L20 NOT L21
L23 9 S L9 FUL SUB=L20
SAV L23 SHIAO652A/A
L24 25 S L20 NOT L23
L25 2 S L24 AND (C25H3005S OR C23H3005)
L26 11 S L23,L25
L27 2 S L21 NOT L26
SAV L26 SHIAO652B/A

FILE 'HCAOLD' ENTERED AT 08:45:24 ON 04 APR 2005

L28 0 S L26

FILE 'HCAPLUS' ENTERED AT 08:45:27 ON 04 APR 2005

L29 1 S L26

L30 1 S L29 AND L1-L7

FILE 'USPATFULL, USPAT2' ENTERED AT 08:45:34 ON 04 APR 2005

L31 1 S L26

FILE 'REGISTRY' ENTERED AT 08:45:54 ON 04 APR 2005

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 08:46:03 ON 04 APR 2005

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FILE COVERS 1907 - 4 Apr 2005 VOL 142 ISS 15

FILE LAST UPDATED: 3 Apr 2005 (20050403/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l30 all hitstr

L30 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:185378 HCAPLUS

DN 142:261329

ED Entered STN: 04 Mar 2005

TI Preparation of cyclohexyl prostaglandin analogs as EP4-receptor agonists
IN Old, David W.; Burk, Robert M.; Dinh, Thang D.

PA Allergan, Inc., USA

SO U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K031-66
 ICS A61K031-41; A61K031-18; A61K031-16; A61K031-045
 NCL 514129000; 514381000; 514559000; 514601000; 514613000; 514729000
 CC 26-3 (Biomolecules and Their Synthetic Analogs)
 Section cross-reference(s): 1, 7, 63

FAN.CNT 1

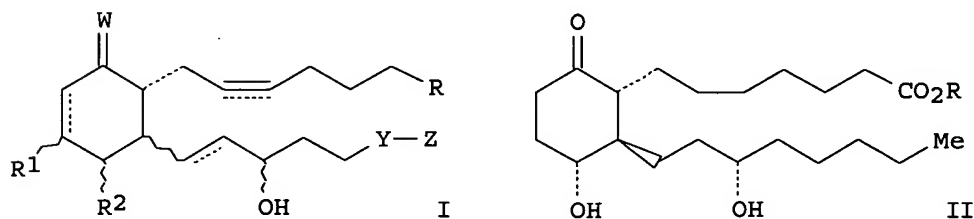
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	WO 2005023267	A1	20050317	WO 2004-US26607	20040816 <--
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	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
	AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
	EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				
	SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				
	SN, TD, TG				

PRAI US 2003-652634 A 20030828 <--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005049227	ICM	A61K031-66
	ICS	A61K031-41; A61K031-18; A61K031-16; A61K031-045
	NCL	514129000; 514381000; 514559000; 514601000; 514613000; 514729000

GI



AB Cyclohexyl prostaglandin analogs, such as I [wavy segment = α or β bond; dashed bond = presence or absence of a bond; R = CO₂R₄, CONR₄2, CH₂OR₄, CONR₄SO₂R₄, P(O)(OR₄), tetrazolyl; R₄ = H, Ph, alkyl; R₁, R₂ = H, OH, alkoxy, acyloxy; W = O, halogen; Y = bond, CH₂, O, S, NH; Z = alkyl, cycloalkyl, heterocyclyl], and pharmaceutically acceptable salt and esters thereof, are prepared as EP₄ agonists, in general, and, in particular as ocular hypotensives. Ophthalmic formulations containing I are also described. Thus, II (R = Me), prepared via a multistep reaction sequence starting from [(S)-1-((E)-2-iodo-vinyl)-hexyloxy]-tert-butyltrimethylsilane, lithium 2-thienylcyanocuprate, (4R)-4-(tert-butyltrimethylsilyloxy)-2-cyclohexen-1-one and Me 7-iodohept-5-ynoate, was deacylated with rabbit liver esterase to afford cyclohexyl prostaglandin analog II [R = H (III)]. III had EC₅₀ of 387 nM against hEP₄.

ST prostaglandin cyclohexyl analog prepn EP₄ receptor agonist; cyclohexyl analog prostaglandin prepn ocular hypotensive glaucoma treatment

IT Deacylation
 (enzymic; preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Asymmetric synthesis and induction
 (of cyclohexyl prostaglandin analogs as ocular hypotensives).

IT Antiglaucoma agents
Human
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Prostaglandins
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT Prostanoid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(type EP4; preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 845791-33-5P 845791-42-6P 845791-45-9P 845791-49-3P
845791-52-8P 845791-55-1P 845791-56-2P
845791-57-3P 845791-58-4P 845791-59-5P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 9016-18-6, Esterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 7440-05-3, Palladium, uses
RL: CAT (Catalyst use); USES (Uses)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 845791-38-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

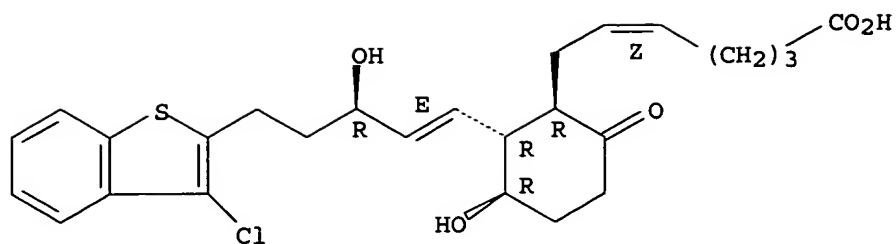
IT 124-63-0, Methanesulfonyl chloride 1112-67-0, Tetrabutylammonium chloride 31776-12-2 41138-67-4, [(S)-1-((E)-2-Iodo-vinyl)-hexyloxy]-tert-butyldimethylsilane 112426-02-5, Lithium 2-thienylcyanocuprate 164577-42-8, (4R)-4-(tert-Butyldimethylsilyloxy)-2-cyclohexen-1-one 736141-49-4 845791-39-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 315220-61-2P 845791-30-2P 845791-31-3P
845791-32-4P 845791-35-7P 845791-36-8P 845791-37-9P
845791-40-4P 845791-41-5P 845791-43-7P 845791-44-8P 845791-46-0P
845791-47-1P 845791-48-2P 845791-50-6P 845791-51-7P
845791-53-9P 845791-54-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

IT 845791-33-5P 845791-52-8P 845791-55-1P
845791-56-2P 845791-57-3P 845791-58-4P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

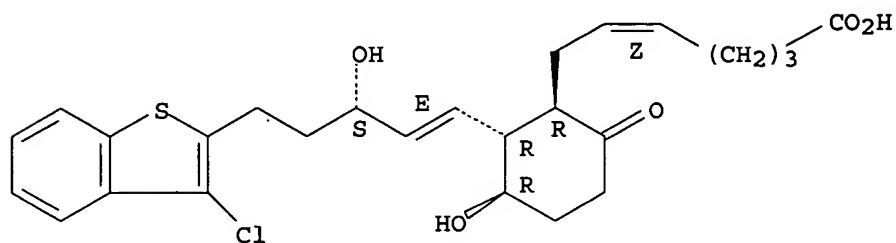
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



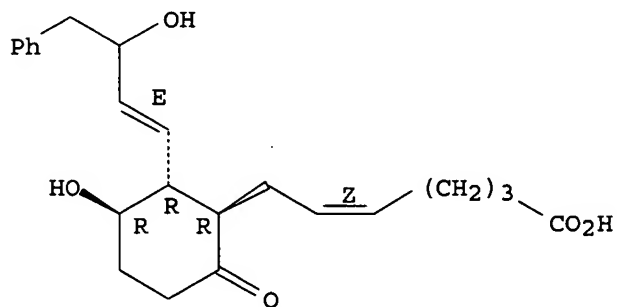
RN 845791-52-8 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



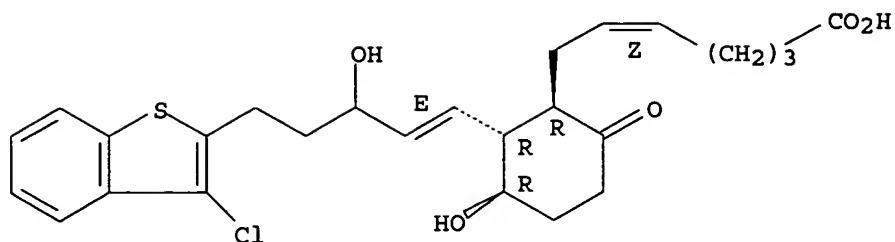
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CN 5-Heptenoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-4-phenyl-1-butenyl]-6-oxocyclohexyl]-, (5Z)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



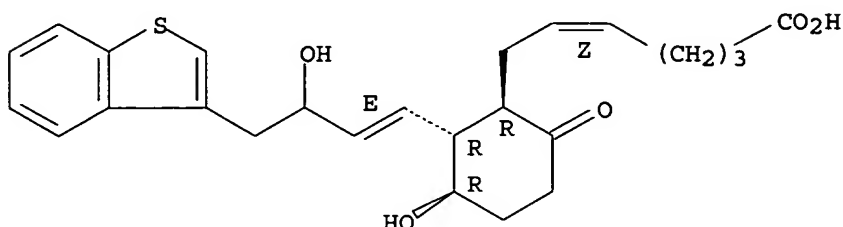
RN 845791-56-2 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



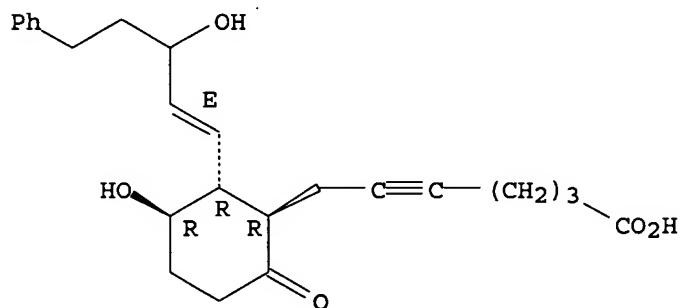
RN 845791-57-3 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



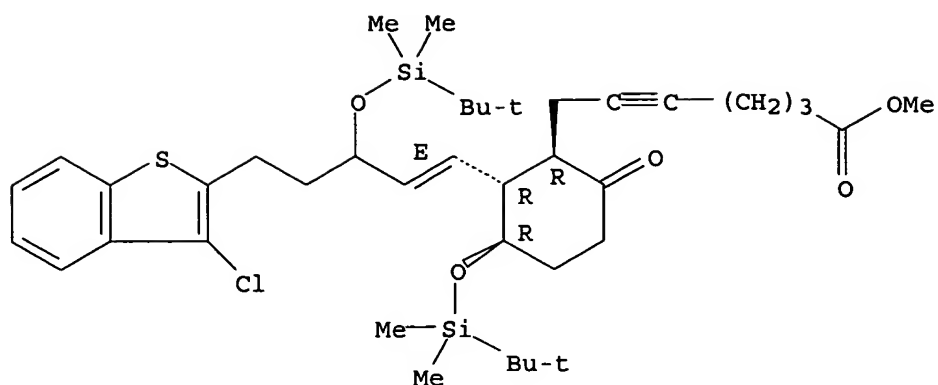
RN 845791-58-4 HCAPLUS
CN 5-Heptynoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-5-phenyl-1-pentenyl]-6-oxocyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



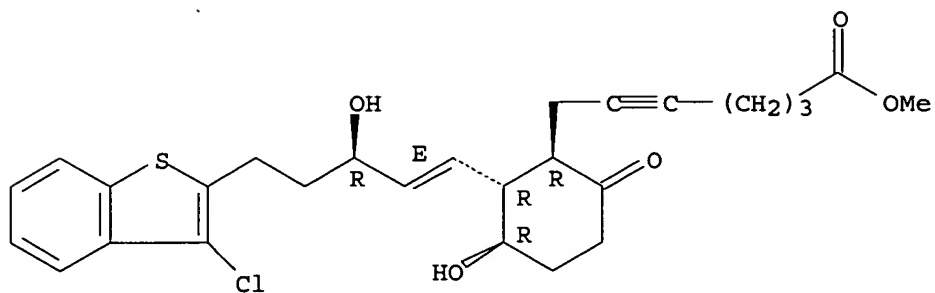
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845791-50-6P 845791-51-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)
RN 845791-30-2 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



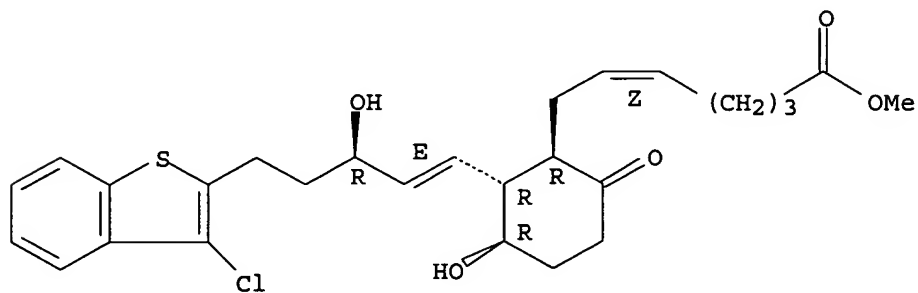
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



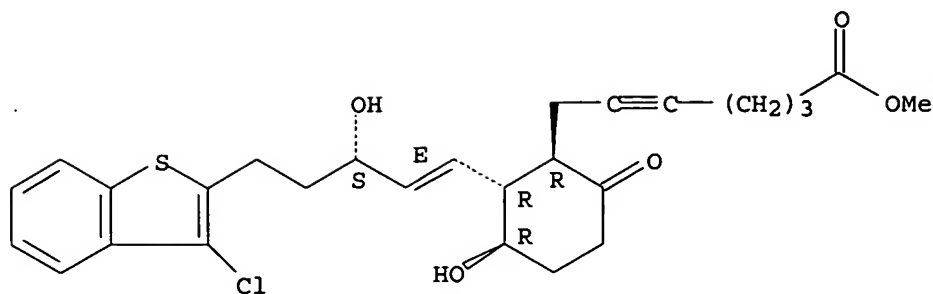
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



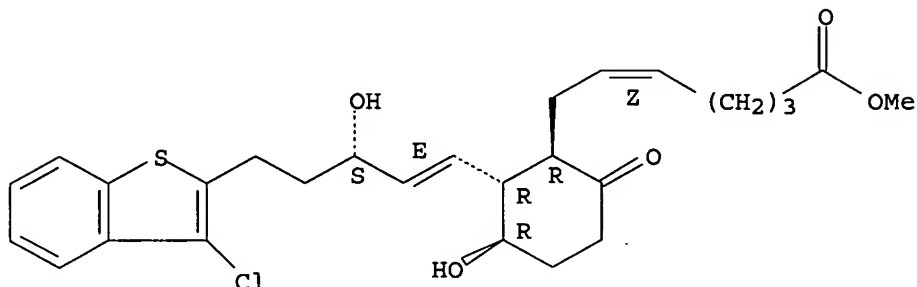
RN 845791-50-6 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 845791-51-7 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



=> fil uspatall

FILE 'USPATFULL' ENTERED AT 08:46:10 ON 04 APR 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 08:46:10 ON 04 APR 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr

L31 ANSWER 1 OF 1 USPATFULL on STN

AN 2005:57310 USPATFULL

TI Cyclohexyl prostaglandin analogs as EP4-receptor agonists

IN Old, David W., Irvine, CA, UNITED STATES

Burk, Robert M., Laguna Beach, CA, UNITED STATES

Dinh, Thang D., Garden Grove, CA, UNITED STATES

PA Allergan, Inc. (U.S. corporation)

PI US 2005049227 A1 20050303

AI US 2003-652634 A1 20030828 (10)

DT Utility

FS APPLICATION

LREP Robert J. Baran, ALLERGAN, INC., Legal Department, 2525 Dupont Drive,
Irvine, CA, 92612

CLMN Number of Claims: 30

ECL Exemplary Claim: 1

DRWN 6 Drawing Page(s)

LN.CNT 904

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of novel cyclohexyl analogues of E-type prostaglandins as EP.sub.4 agonists, in general, and, in particular as ocular hypotensives. The cyclohexyl analogues used in accordance with

the invention are represented by the following formula I: ##STR1##

wherein the wavy segments represent α or β bond, dashed line represents the presence or absence of a bond W, Y, Z, R, R.sup.1, R.sup.2 and R.sup.3 are as defined in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

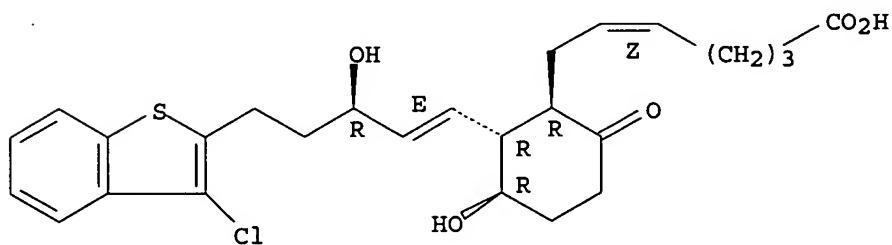
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845791-56-2P 845791-57-3P 845791-58-4P

(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)

RN 845791-33-5 USPATFULL

CN INDEX NAME NOT YET ASSIGNED

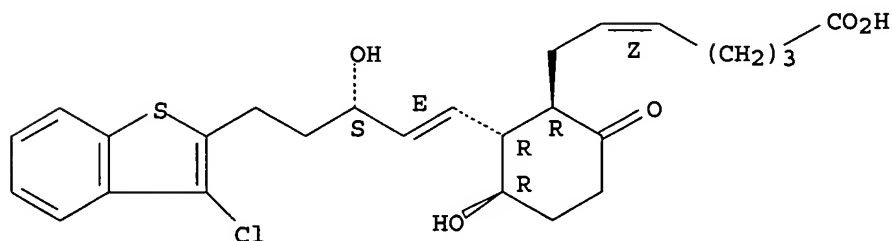
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Double bond geometry as shown.



RN 845791-52-8 USPATFULL

CN INDEX NAME NOT YET ASSIGNED

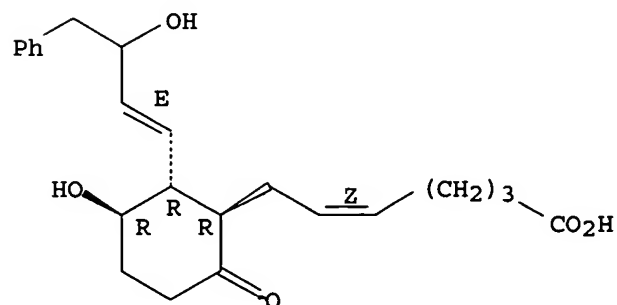
Absolute stereochemistry.
Double bond geometry as shown.



RN 845791-55-1 USPATFULL

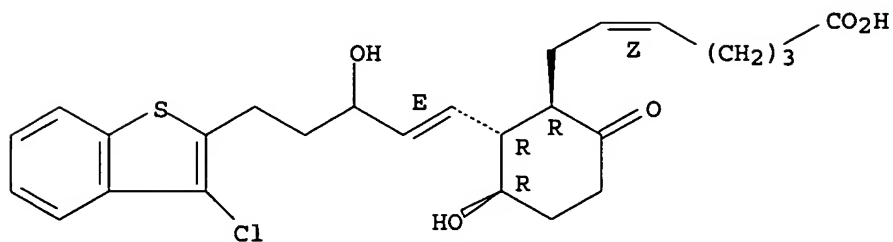
CN 5-Heptenoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-4-phenyl-1-butenyl]-6-oxocyclohexyl]-, (5Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



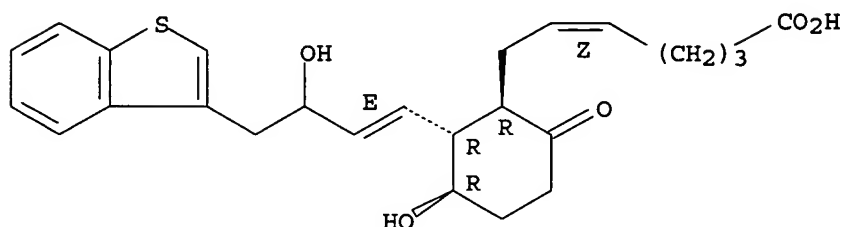
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CN INDEX NAME NOT YET ASSIGNED

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Double bond geometry as shown.



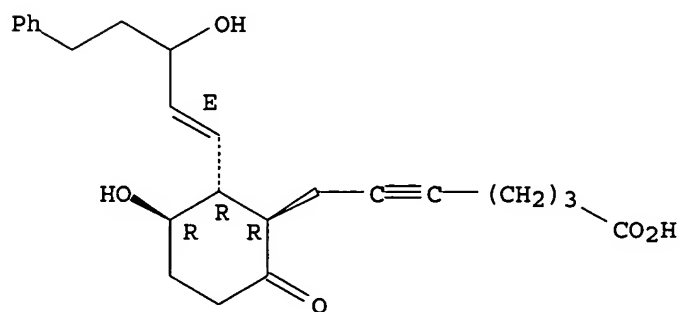
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
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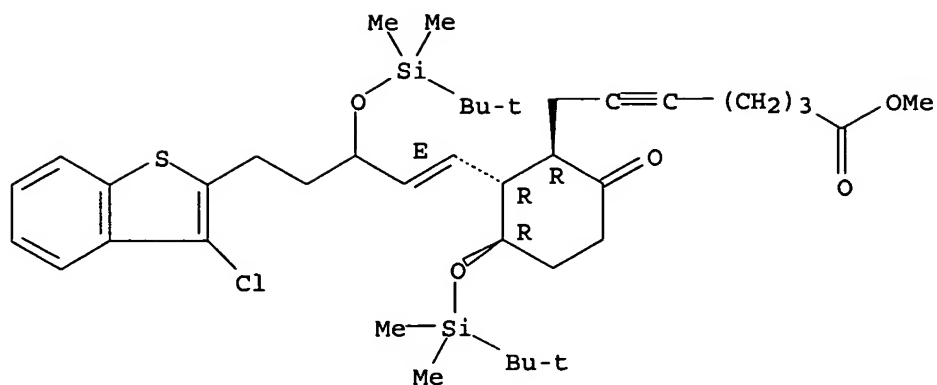
RN 845791-58-4 USPATFULL
CN 5-Heptynoic acid, 7-[(1R,2R,3R)-3-hydroxy-2-[(1E)-3-hydroxy-5-phenyl-1-pentenyl]-6-oxocyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 845791-30-2P 845791-31-3P 845791-32-4P
845791-50-6P 845791-51-7P
(preparation of cyclohexyl prostaglandin analogs as ocular hypotensives)
RN 845791-30-2 USPATFULL
CN INDEX NAME NOT YET ASSIGNED

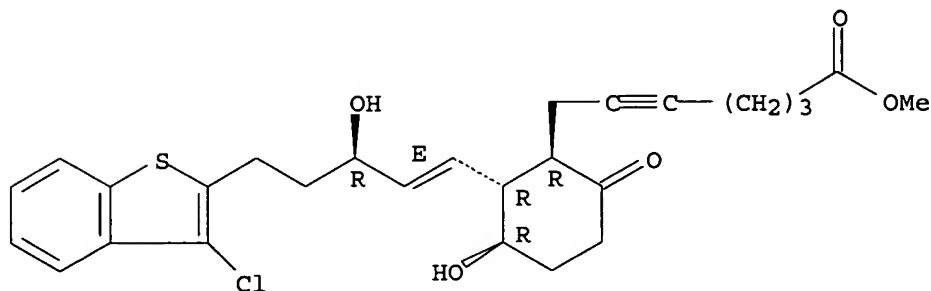
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Double bond geometry as shown.



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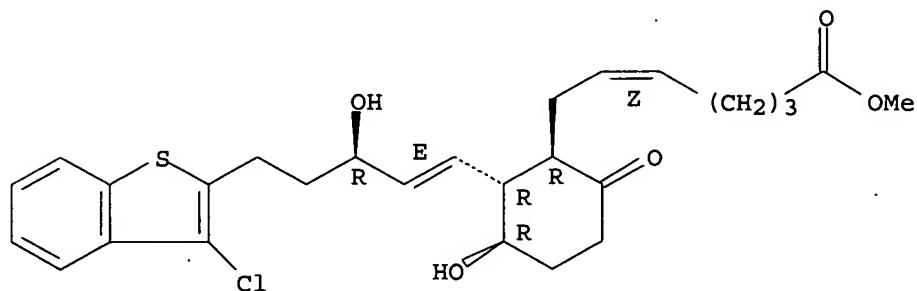
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Double bond geometry as shown.



RN 845791-32-4 USPATFULL

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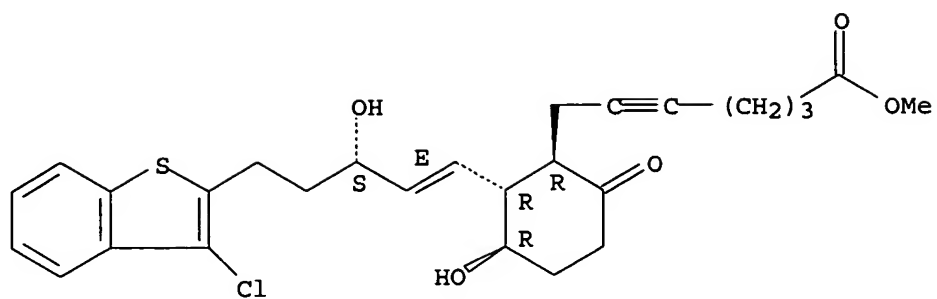
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Double bond geometry as shown.



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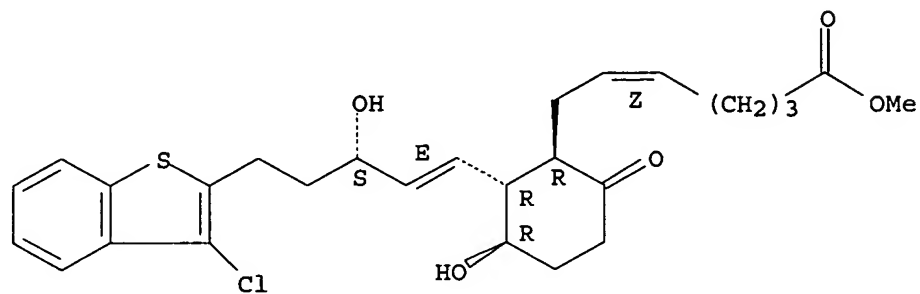
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



RN 845791-51-7 USPATFULL
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



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